

wherein

X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula  $-(CH_2)_n-R^1-$ , wherein

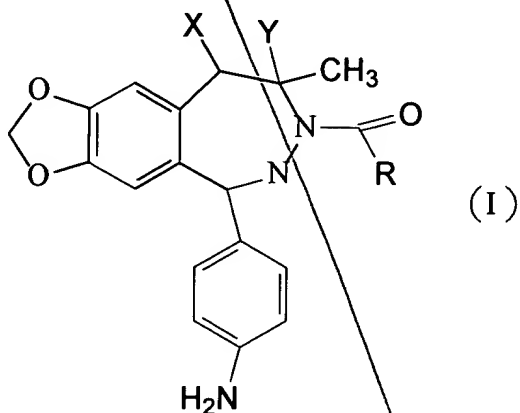
n is 0, 1 or 2 and

$R^1$  is halogen or a group of the formula  $NR^2R^3$ , wherein  $R^2$  and  $R^3$  independently represent hydrogen,  $C_{1-4}$  alkoxy,  $C_{3-6}$  cycloalkyl or  $C_{1-4}$  alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of  $R^2$  and  $R^3$  is hydrogen and the other is  $C_{1-4}$  alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and

one oxygen atom and may optionally have an oxo group  
 substituent;  
 and pharmaceutically suitable acid addition salts  
 thereof.

8. (Twice Amended) A process for the preparation of a  
 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



wherein X and Y each stand for hydrogen or together form  
 a double bond;

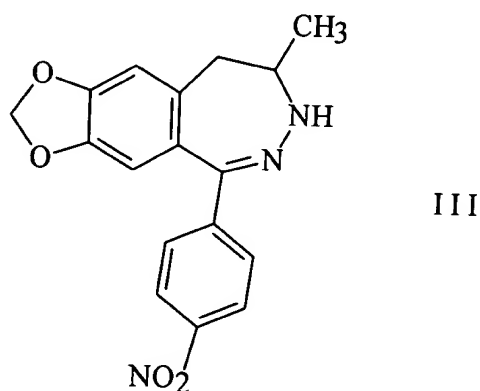
R is a group of the formula  $-(CH_2)_n-R^1-$  wherein  
 n is 0, 1 or 2 and

$R^1$  is halogen or a group of the formula  $NR^2R^3$ ,  
 wherein  $R^2$  and  $R^3$  independently represent hydrogen,  $C_{1-4}$   
 alkoxy,  $C_{3-6}$  cycloalkyl or  $C_{1-4}$  alkyl optionally  
 substituted with a 5 to 6 membered saturated heterocyclic  
 ring, which contains one nitrogen, or one nitrogen and  
 one oxygen atom and may optionally have an oxo group  
 substituent; with the proviso that if X and Y together

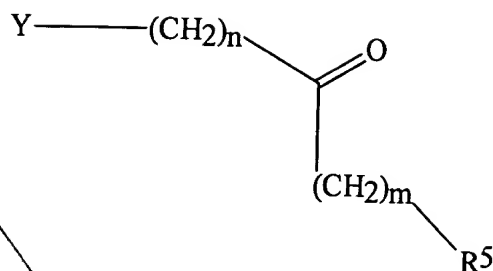
form a double bond, then n is 1 or 2; or n is 0 and one of R<sup>2</sup> and R<sup>3</sup> is hydrogen and the other is C<sub>1-4</sub> alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent; and pharmaceutically suitable acid addition salts thereof;

characterized in that

2 a) for the preparation of a compound of the formula I, wherein R<sup>1</sup> represents a group of the formula  $-(CH_2)_n-CO-(CH_2)_m-R$ , wherein R stands for a halo atom or a pyridyl group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, R<sup>2</sup> means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III



is reacted with a reagent of the formula VI

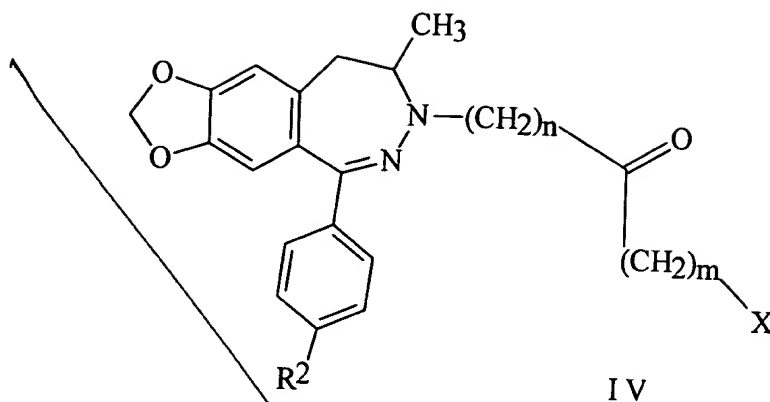


VI

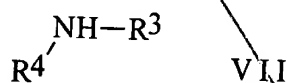
wherein Y represents a leaving group,  $\text{R}^5$  is a halo atom or a pyridyl group; or

b) for the preparation of a compound of the formula I, wherein  $\text{R}^1$  represents a group of the formula  $-(\text{CH}_2)_n-\text{CO}-(\text{CH}_2)_m-\text{R}$ , wherein R stands for an imidazolyl group, n has a value of 0, m has a value of 0,  $\text{R}^2$  means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III is reacted with 1,1'-carbonyldiimidazole; or

c) for the preparation of a compound of the formula I, wherein  $\text{R}^1$  represents a group of the formula  $-(\text{CH}_2)_n-\text{CO}-(\text{CH}_2)_m-\text{R}$ , wherein R stands for a group of the formula  $-\text{NR}^3\text{R}^4$ , wherein  $\text{R}^3$ ,  $\text{R}^4$ , n and m are as defined in Claim 1,  $\text{R}^2$  means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III is reacted with a reagent of the formula VI, wherein Y and  $\text{R}^5$  represent, independently, a leaving group, n and m are as stated above, and the obtained benzodiazepine compound of the formula IV

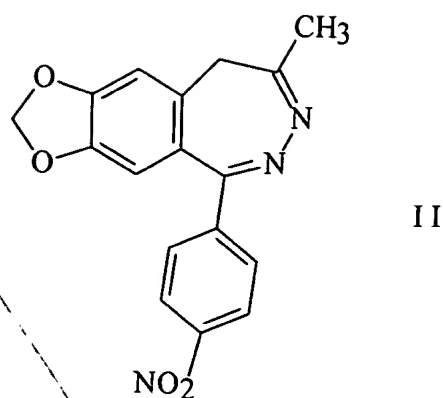


wherein X stand for a leaving group, n and m are as stated above,  
is reacted with an amine of the formula VII

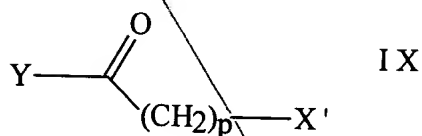


wherein R<sup>3</sup> and R<sup>4</sup> are as stated above; or

d) for the preparation of a compound of the formula I,  
wherein R<sup>1</sup> stands for a group of the formula -CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein  
R<sup>6</sup> represents a halo atom, a phenoxy group or a C<sub>1-4</sub> alkoxy group, p  
has a value of 0, 1 or 2, A forms together with B a valence bond,  
R<sup>2</sup> means a nitro group, the 8-methyl-5-(4-nitrophenyl)-9H-1,3-  
dioxolo[4,5-h][2,3]benzodiazepine of the formula II

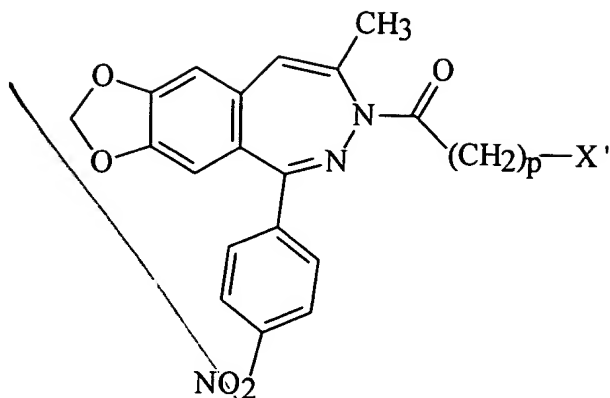


is reacted with an acylating agent of the formula IX



wherein Y represents a leaving group, X' stands for a halo atom, a phenoxy group or a C<sub>1-4</sub> alkoxy group, p has a value of 0, 1 or 2; or

e) for the preparation of a compound of the formula I, wherein R<sup>1</sup> stands for a group of the formula -CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein R<sup>7</sup>, R<sup>8</sup> and p are as defined in Claim 1, A forms together with B a valence bond, R<sup>2</sup> means a nitro group, the 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula II is reacted with an acylating agent of the formula IX, wherein each of Y and X' represents, independently, a leaving group, p is as stated above, and the obtained acylated compound of the formula VIII



VIII

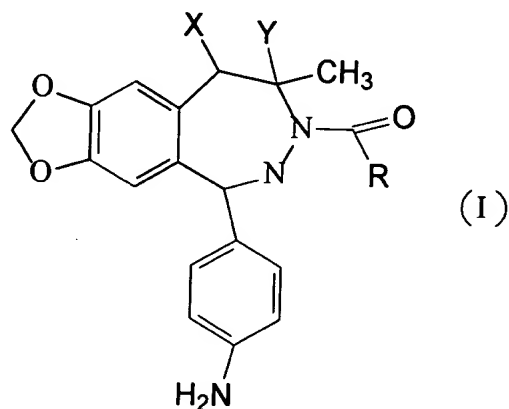
wherein X' and p are as defined above, is reacted with an amine of the formula  $\text{HNR}^7\text{R}^8$ , wherein  $\text{R}^7$  and  $\text{R}^8$  are as stated above;

and, optionally the compound of the formula I, wherein  $\text{R}^2$  represents a nitro group,  $\text{R}^1$ , A and B are as defined in Claim 1, is transformed into a compound of the formula I, wherein  $\text{R}^2$  stands for an amino group, by reduction;

and, optionally the compound of the formula I, wherein  $\text{R}^2$  represents an amino group,  $\text{R}^1$ , A and B are as defined in Claim 1, is reacted with a  $\text{C}_{1-4}$  alkanecarboxylic acid or a reactive acylating salt thereof;

and, optionally, a base of the formula I is converted to a pharmaceutically suitable acid addition salt or liberated from the acid addition salt.

9. (Three times Amended) A pharmaceutical composition comprising a compound of the formula I



F3  
wherein

X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula  $-(CH_2)_n-R^1-$ , wherein

n is 0, 1 or 2 and

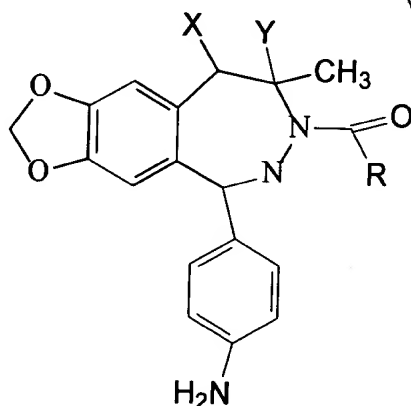
$R^1$  is halogen or a group of the formula  $NR^2R^3$ , wherein  $R^2$  and  $R^3$  independently represent hydrogen,  $C_{1-4}$  alkoxy,  $C_{3-6}$  cycloalkyl or  $C_{1-4}$  alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of  $R^2$  and  $R^3$  is hydrogen and the other is  $C_{1-4}$  alkyl optionally substituted with a 5 to 6



membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent, or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).

16. (Four Times Amended) A method of treatment in which a patient suffering from epilepsy or being in a state after stroke is treated with a non-toxic dose of the compound of formula I,



(I)

wherein

X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula  $-(CH_2)_n-R^1-$ , wherein

n is 0, 1 or 2 and

$R^1$  is halogen or a group of the formula  $NR^2R^3$ , wherein  $R^2$  and  $R^3$  independently represent hydrogen,  $C_{1-4}$

Y1  
Cost

alkoxy, C<sub>3-6</sub> cycloalkyl or C<sub>1-4</sub> alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

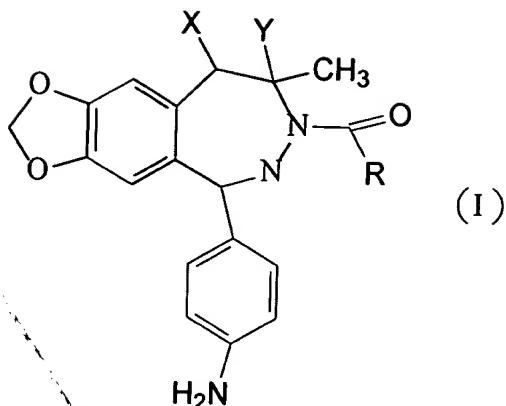
F4

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of R<sup>2</sup> and R<sup>3</sup> is hydrogen and the other is C<sub>1-4</sub> alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

or a pharmaceutically suitable acid addition salt thereof.

17. (Four Times Amended) A process for preparing a pharmaceutical composition suitable for the treatment of epilepsy or a state after stroke, characterized in that a compound of the formula I,

g1  
cont



wherein

X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula  $-(CH_2)_n-R^1-$ , wherein

n is 0, 1 or 2 and

$R^1$  is halogen or a group of the formula  $NR^2R^3$ , wherein  $R^2$  and  $R^3$  independently represent hydrogen,  $C_{1-4}$  alkoxy,  $C_{3-6}$  cycloalkyl or  $C_{1-4}$  alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of  $R^2$  and  $R^3$  is hydrogen and the other is  $C_{1-4}$  alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and

one oxygen atom and may optionally have an oxo group substituent;

or a pharmaceutically suitable acid addition salt thereof, together with one or more conventional carrier(s), is converted to a pharmaceutical composition.

Please add the following new claim:

18. (new) A compound which is selected from the group consisting of (±)-5-(4-aminophenyl)-7,8-dihydro-8-methyl-7-N-(4-morpholinoethyl)carbamoyl-9H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine, (±)-5-(4-aminophenyl)-7-(N-cyclopropylcarbamoyl)-7,8-dihydro-8-methyl-9H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine, (±)-5-(4-aminophenyl)-7,8-dihydro-8-methyl-7-(N-methoxycarbamoyl)-9H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine, (±)-5-(4-aminophenyl)-7-(N-aminocarbamoyl)-7,8-dihydro-8-methyl-9H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine, 5-(4-aminophenyl)-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine-7-carboxylic acid-(2-morpholino-4-ylethyl)amide, 5-(4-aminophenyl)-7-(2-chloroacetyl)-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine, 5-(4-aminophenyl)-7-(3-chloropropionyl)-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine, and 1-[2-5-(4-aminophenyl)-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]-benzodiazepine-7-yl]-2-oxoethyl] pyrrolidine-2-one monohydrate.